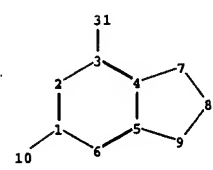
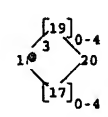
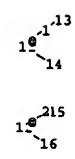
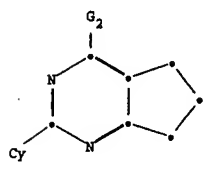
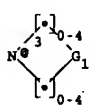
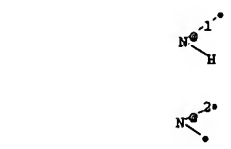


~~10/660,489~~

10/660,489

C:\STNEXP4\QUERIES\09856869.str



```
chain nodes :
  10 11 12 14 31
ring nodes :
  1 2 3 4 5 6 7 8 9 17 18 19 20
ring/chain nodes :
  13 15 16
chain bonds :
  1-10 3-31 11-13 11-14 12-15 12-16
ring bonds :
  1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 17-18 17-20 18-19 19-20
exact/norm bonds :
  1-10 3-31 4-7 5-9 7-8 8-9 11-13 11-14 12-15 12-16 17-18 17-20 18-19 19-20
normalized bonds :
  1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
  containing 1 : 17 :

1:O,S
2:[*1],[*2],[*3]

atch level :
  1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
  12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom
  31:CLASS
eneric attributes :
  10:
  Saturation : Unsaturated
```

10/660,489  
~~09/056,069~~

=>

Uploading 09856069.str

L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1        STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 16:10:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6721 TO ITERATE

14.9% PROCESSED        1000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:        129510 TO    139330

PROJECTED ANSWERS:                0 TO                0

L2        0 SEA SSS SAM L1

=> s l1 sss ful

FULL SEARCH INITIATED 16:11:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 135184 TO ITERATE

100.0% PROCESSED    135184 ITERATIONS

70 ANSWERS

SEARCH TIME: 00.00.07

L3        70 SEA SSS FUL L1

=> s l3

L4        12 L3

=> d l4 1-12 bib,ab,hitstr

10/660,489  
~~09/056,069~~

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:220584 CAPLUS  
DN 136:247584  
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
IN Bebbington, David; Knegetel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien  
PA Vertex Pharmaceuticals Incorporated, USA  
SO PCT Int. Appl., 356 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 10

*not Prior art*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022608	A1	20020321	WO 2001-US42152	20010914
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2000-232795P P 20000915  
US 2000-257887P P 20001221  
US 2001-286949P P 20010427 } *not prior*

OS MARPAT 136:247584

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for Aurora-2.

IT 404827-36-7P 404827-42-5P, [2-(2-Chlorophenyl)-6,7-

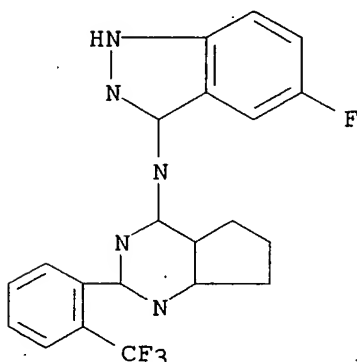
10/660,489  
~~09,856,065~~

dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
**404827-43-6P**, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-  
dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-44-7P**,  
(7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-45-8P**,  
(5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-46-9P**,  
[2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-  
yl) amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine  
**404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(protein kinase inhibitor; prepn. of heterocyclpyrazolamines and  
analogs as protein kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease)

RN 404827-36-7 CAPLUS

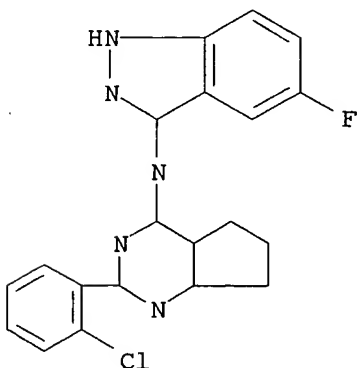
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)

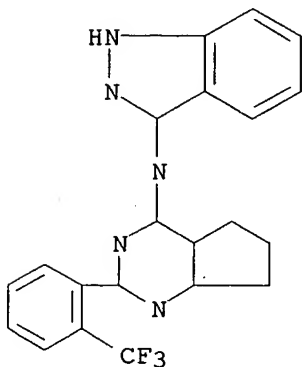


10/660,489  
~~89,856,069~~

\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

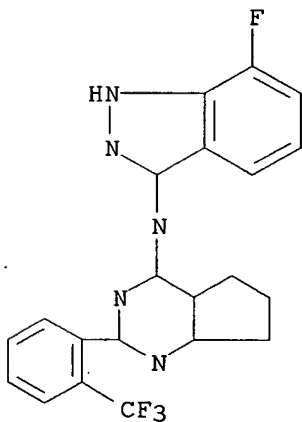
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

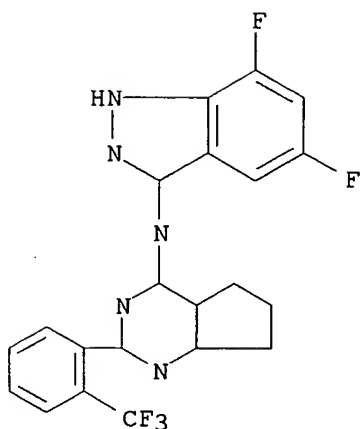
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

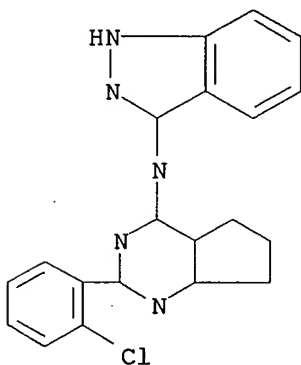
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

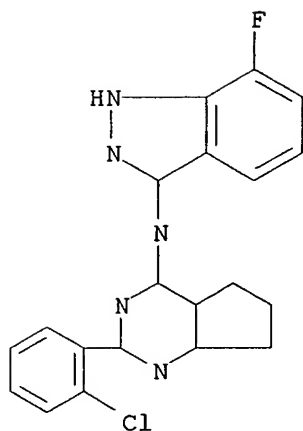
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

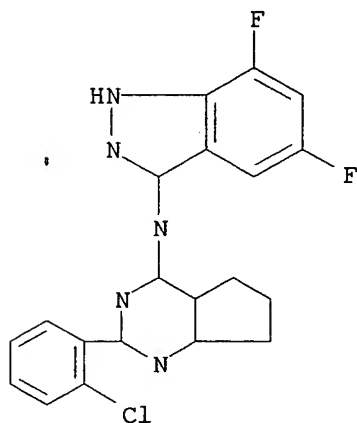
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:220583 CAPLUS  
 DN 136:247583  
 TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 IN Davies, Robert; Bebbington, David; Knegetel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David  
 PA Vertex Pharmaceuticals Incorporated, USA  
 SO PCT Int. Appl., 373 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

*not paid*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022607	A1	20020321	WO 2001-US28940	20010914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-232795P	P	20000915		
	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		

*not paid*

OS MARPAT 136:247583  
 AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for Aurora-2.

IT 404827-36-7P 404827-42-5P, [2-(2-Chlorophenyl)-6,7-

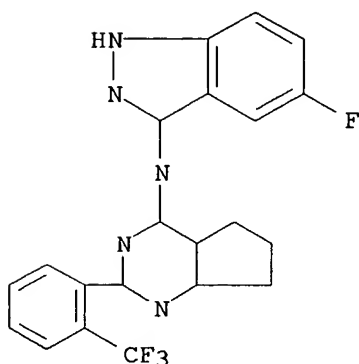


dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
**404827-43-6P**, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-  
dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-44-7P**,  
(7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-45-8P**,  
(5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-46-9P**,  
[2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-  
yl) amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine  
**404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(protein kinase inhibitor; prepn. of heterocyclpyrazolamines and  
analogs as protein kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease)

RN 404827-36-7 CAPLUS

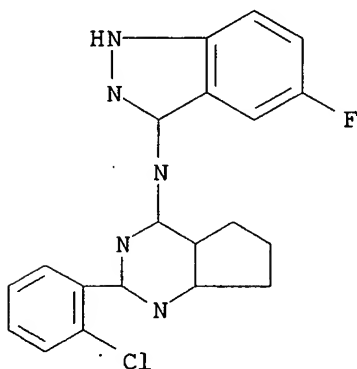
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

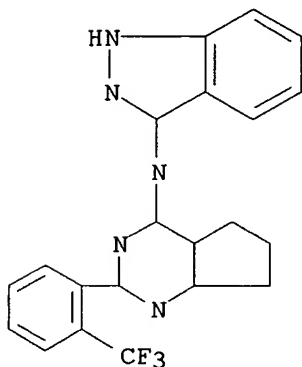
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

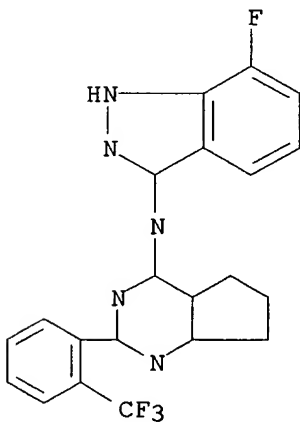
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-. (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

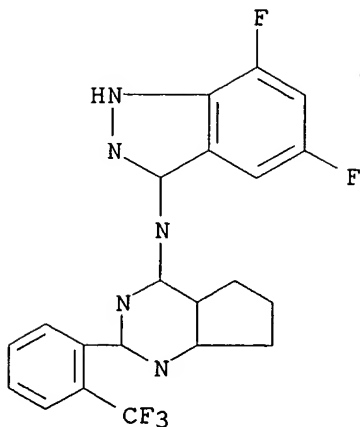
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

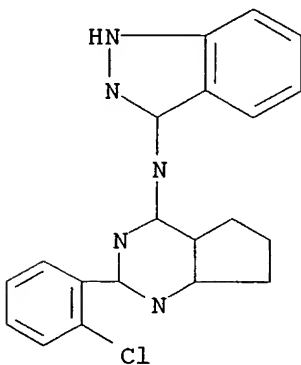
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

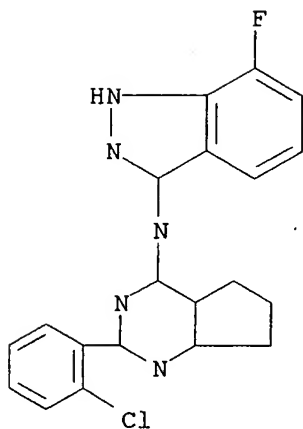
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

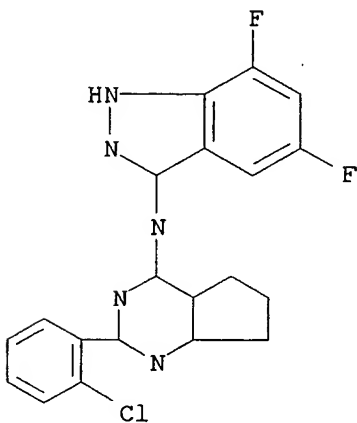
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:220582 CAPLUS  
 DN 136:247582  
 TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 IN Bebbington, David; Binch, Hayley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert  
 PA Vertex Pharmaceuticals Incorporated, USA  
 SO PCT Int. Appl., 355 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

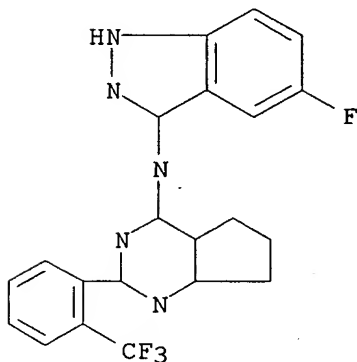
*not prior*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2000-232795P	P	20000915		
US 2000-257887P	P	20001221		
US 2001-286949P	P	20010427		

OS MARPAT 136:247582

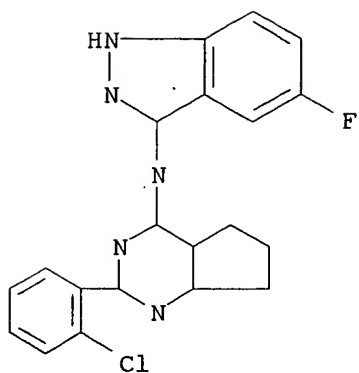
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyrimidinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for Aurora-2.

IT 404827-36-7P 404827-42-5P, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
 404827-43-6P, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine 404827-44-7P, (7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine 404827-45-8P, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine 404827-46-9P, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-yl) amine 404827-47-0P, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine 404827-48-1P, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (protein kinase inhibitor; prepn. of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)  
 RN 404827-36-7 CAPLUS  
 CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

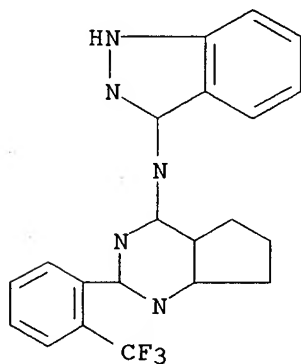
RN 404827-42-5 CAPLUS  
 CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

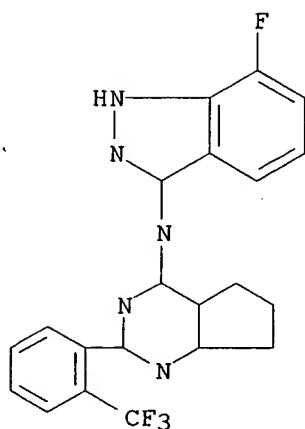
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

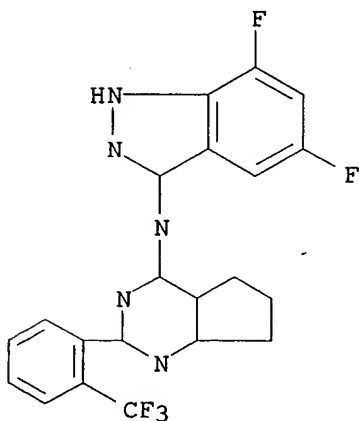
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)

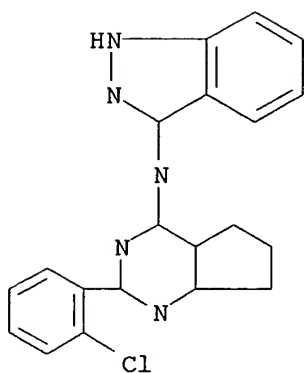


\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)

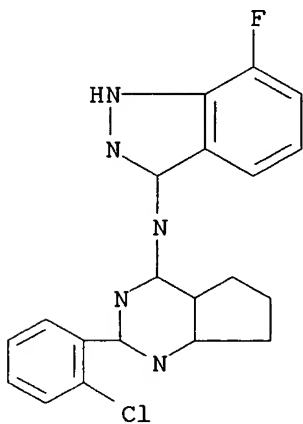




\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

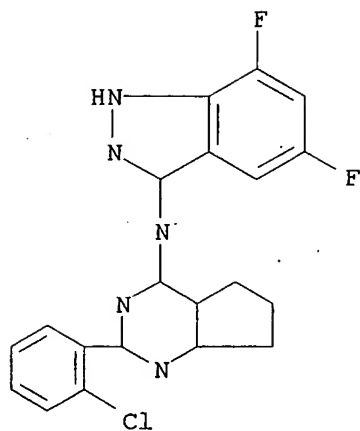
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:220581 CAPLUS  
 DN 136:247581  
 TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 IN Golec, Julian M. C.; Charrier, Jean-Damien; Knegetel, Ronald; Bebbington, David; Davies, Robert; Li, Pan  
 PA Vertex Pharmaceuticals Incorporated, USA  
 SO PCT Int. Appl., 357 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

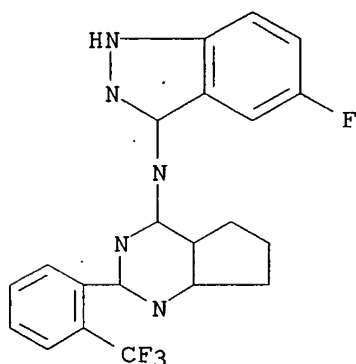
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022605	A1	20020321	WO 2001-US28793	20010914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-232795P	P	20000915		
	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
OS	MARPAT 136:247581				
AB	<p>Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of &lt; 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for Aurora-2.</p>				
IT	404827-36-7P 404827-42-5P, [2-(2-Chlorophenyl)-6,7-				

dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
**404827-43-6P**, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-  
dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-44-7P**,  
(7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-45-8P**,  
(5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-46-9P**,  
[2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-  
yl) amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine  
**404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(protein kinase inhibitor; prepn. of heterocyclpyrazolamines and  
analog as protein kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease)

RN 404827-36-7 CAPLUS

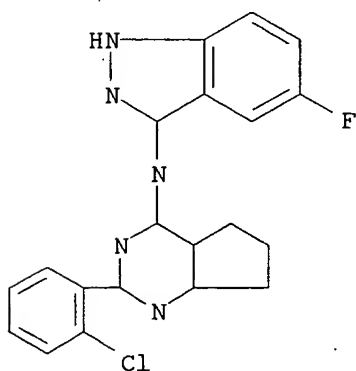
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

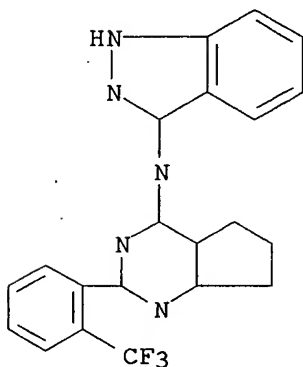
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

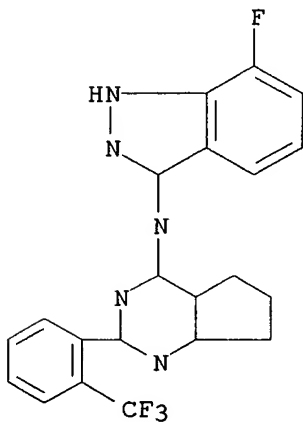
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

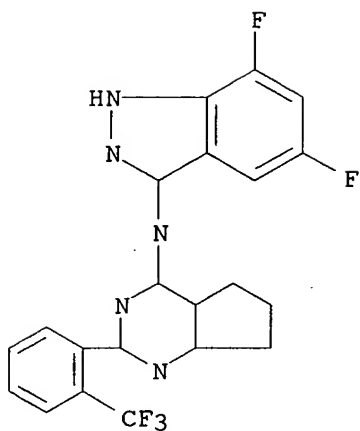
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

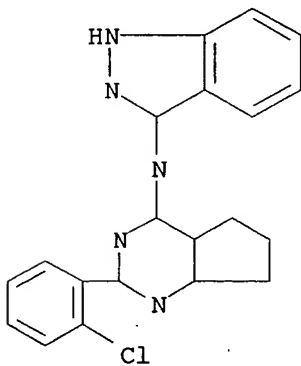
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

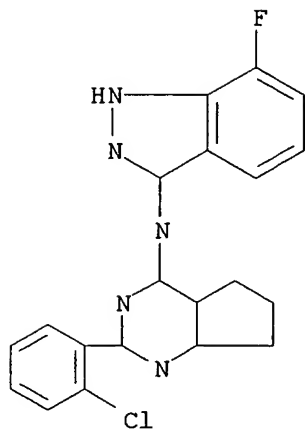
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

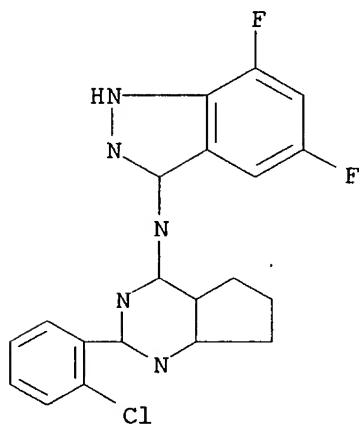
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS

AN 2002:220580 CAPLUS

DN 136:247606

TI Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

IN Davies, Robert; Bebbington, David; Binch, Haley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022604	A1	20020321	WO 2001-US28792	20010914
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-232795P	P	20000915		
	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		

OS MARPAT 136:247606

AB The prepn. of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliph., aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compd. III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases assocd. with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3.beta. (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT 404827-36-7P 404827-42-5P 404827-43-6P

404827-44-7P 404827-45-8P 404827-46-9P

404827-47-0P 404827-48-1P 404844-84-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

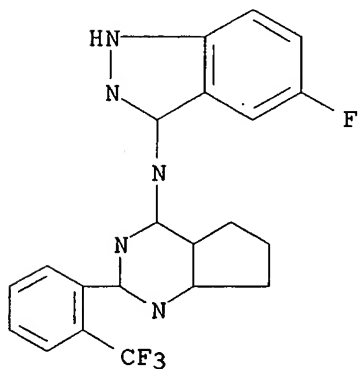
(prepn. of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase



inhibitors)

RN 404827-36-7 CAPLUS

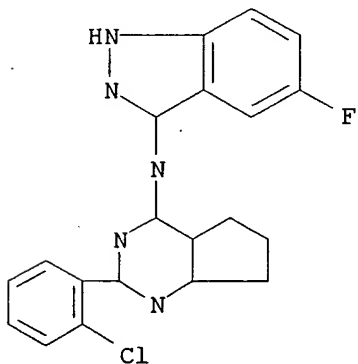
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

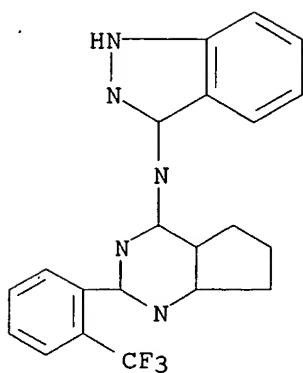
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

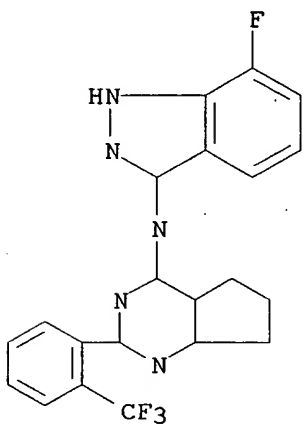
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

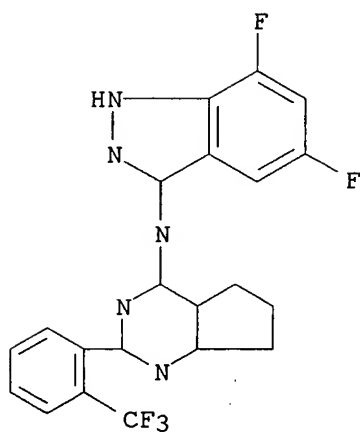
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

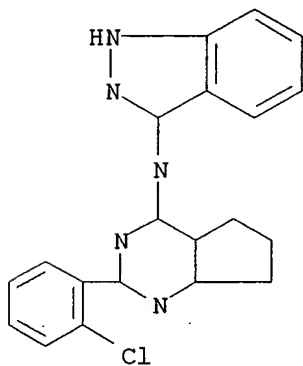
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

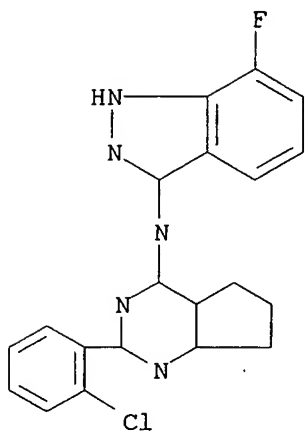
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

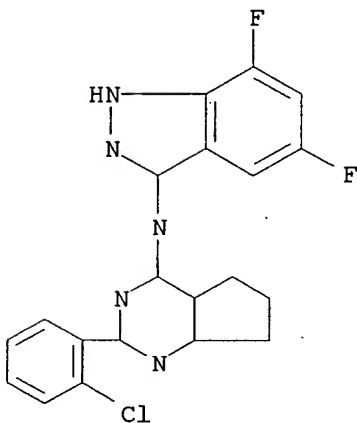
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

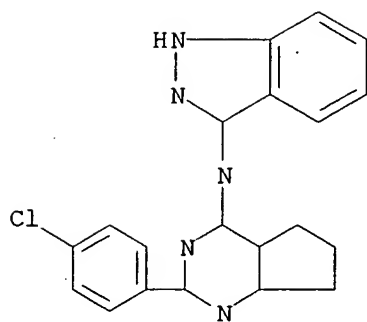
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404844-84-4 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(4-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:220579 CAPLUS  
 DN 136:247580  
 TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 IN Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David  
 PA Vertex Pharmaceuticals Incorporated, USA  
 SO PCT Int. Appl., 406 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 10

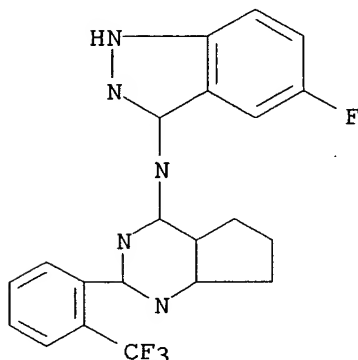
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022603	A1	20020321	WO 2001-US28738	20010914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-232795P	P	20000915		
	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
OS	MARPAT 136:247580				
AB	<p>Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of &lt; 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for Aurora-2.</p>				
IT	<b>404827-36-7P 404827-42-5P</b> , [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5-fluoro-1H-indazol-3-yl)amine				

**404827-43-6P**, (1H-Indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine **404827-44-7P**, (7-Fluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine **404827-45-8P**, (5,7-Difluoro-1H-indazol-3-yl)[2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amine **404827-46-9P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](1H-indazol-3-yl)amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](7-fluoro-1H-indazol-3-yl)amine **404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl](5,7-difluoro-1H-indazol-3-yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; prepn. of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 CAPLUS

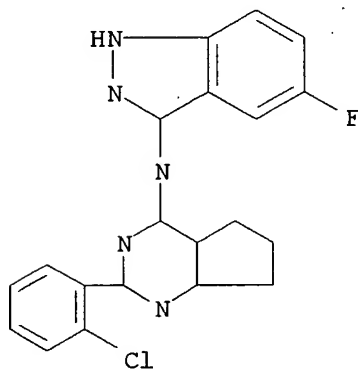
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

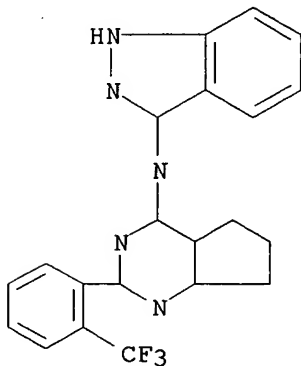
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

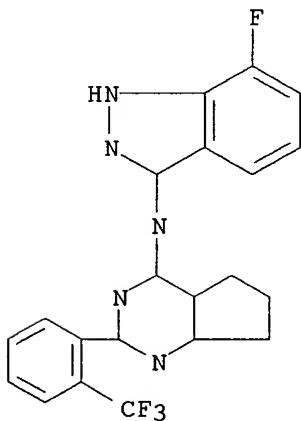
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)

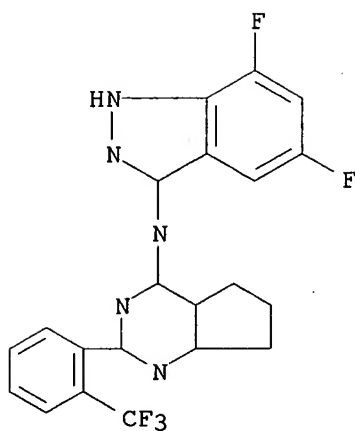


\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)

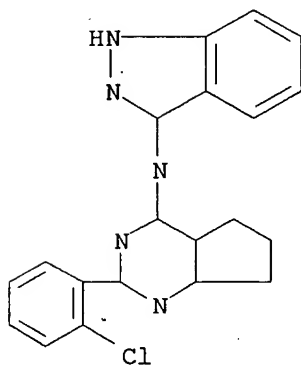




\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

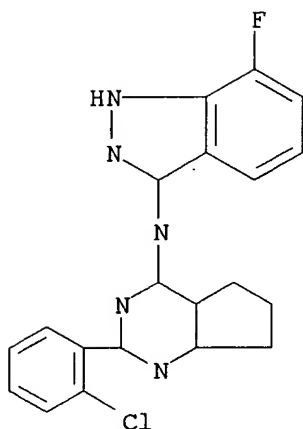
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

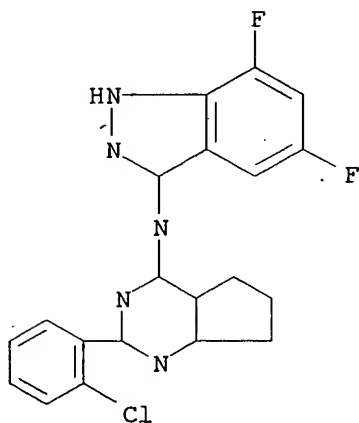
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS

AN 2002:220578 CAPLUS

DN 136:263164

TI Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

IN Bebbington, David; Knegetel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 10

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2000-232795P	P	20000915		
US 2000-257887P	P	20001221		
US 2001-286949P	P	20010427		

OS MARPAT 136:263164

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 1.0-20 .mu.M for Aurora-2.

IT 404827-36-7P 404827-42-5P, [2-(2-Chlorophenyl)-6,7-

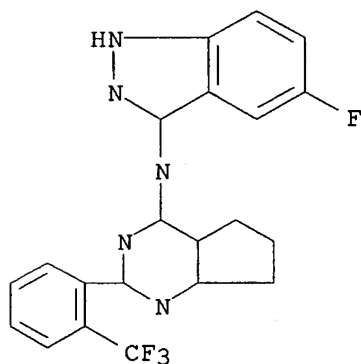
dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
**404827-43-6P**, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-  
dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-44-7P**,  
(7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-45-8P**,  
(5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] amine **404827-46-9P**,  
[2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-  
yl) amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine  
**404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
**404889-65-2P 404891-20-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(protein kinase inhibitor; prepn. of triazolamines, pyrazolamines, and  
analogs as protein kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease)

RN 404827-36-7 CAPLUS

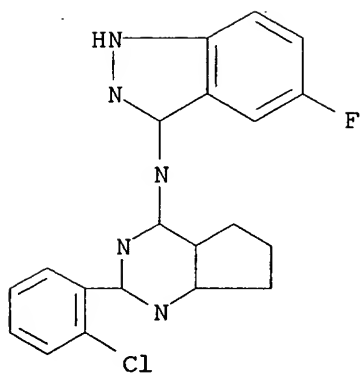
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

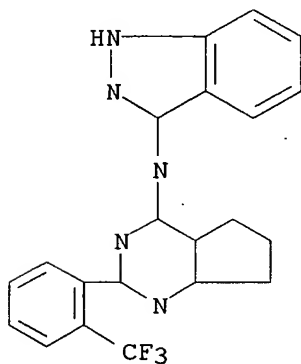
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-  
cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

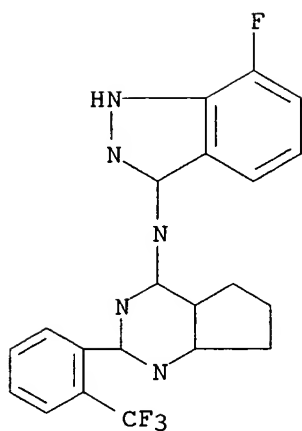
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

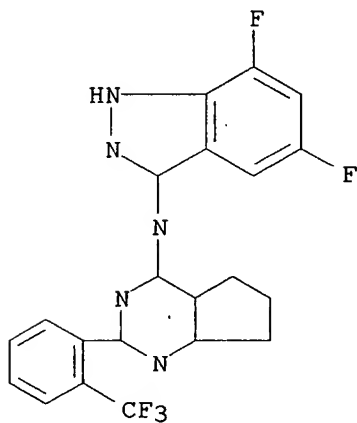
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

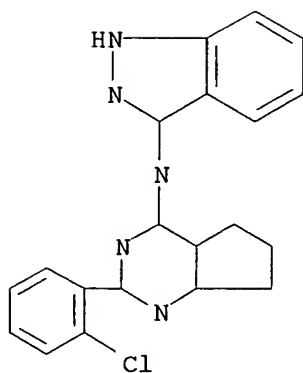
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

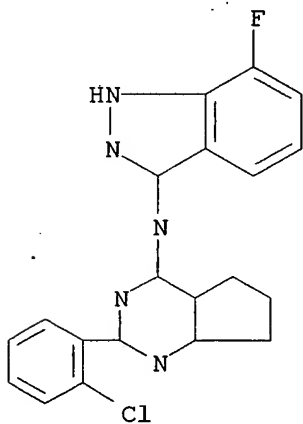
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

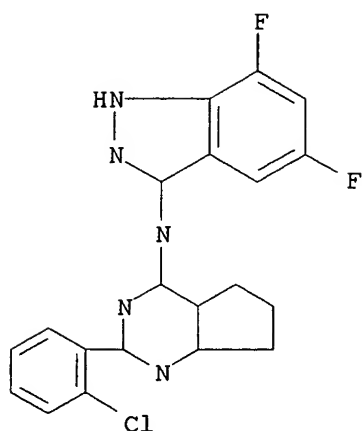
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

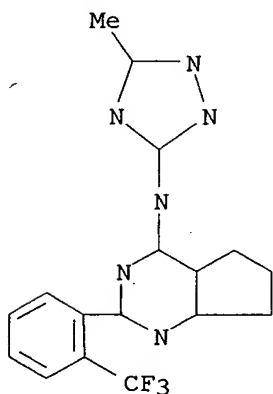
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME).



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404889-65-2 CAPLUS

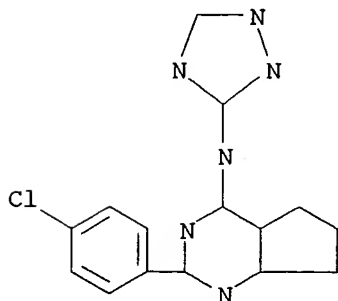
CN 5H-Cyclopentapyrimidin-4-amine, 6,7-dihydro-N-(5-methyl-1H-1,2,4-triazol-3-yl)-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404891-20-9 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-1H-1,2,4-triazol-3-yl- (9CI) (CA INDEX NAME)





L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS

AN 2002:220577 CAPLUS

DN 136:247579

TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

IN Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022601	A1	20020321	WO 2001-US28740	20010914
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2000-232795P	P	20000915		
	US 2000-257887P	P	20001221		
	US 2001-286949P	P	20010427		
OS	MARPAT 136:247579				
AB	Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the inhibition of GSK-.beta.3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and				

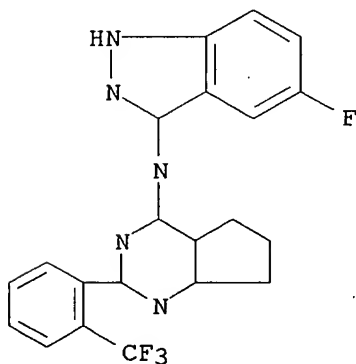
exhibited  $K_i$  values of  $< 0.1 \mu\text{M}$  for glycogen synthetase kinase 3.  $\beta$ . (GSK-3.  $\beta$ .) and  $0.1-1.0 \mu\text{M}$  for Aurora-2.

IT **404827-36-7P 404827-42-5P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (5-fluoro-1H-indazol-3-yl) amine  
**404827-43-6P**, (1H-Indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-44-7P**, (7-Fluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-45-8P**, (5,7-Difluoro-1H-indazol-3-yl) [2-(2-trifluoromethylphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] amine **404827-46-9P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (1H-indazol-3-yl) amine **404827-47-0P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (7-fluoro-1H-indazol-3-yl) amine **404827-48-1P**, [2-(2-Chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl] (5,7-difluoro-1H-indazol-3-yl) amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; prepn. of heterocyclpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-36-7 CAPLUS

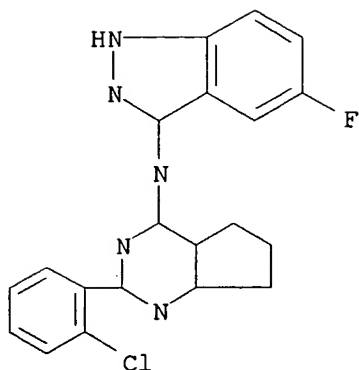
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-42-5 CAPLUS

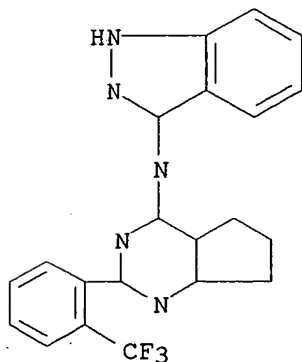
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-43-6 CAPLUS

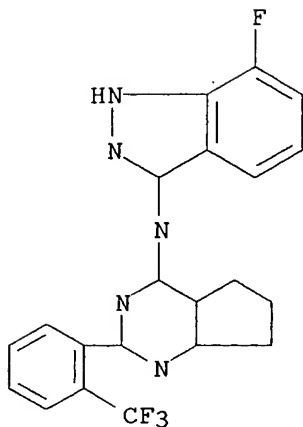
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-9-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-44-7 CAPLUS

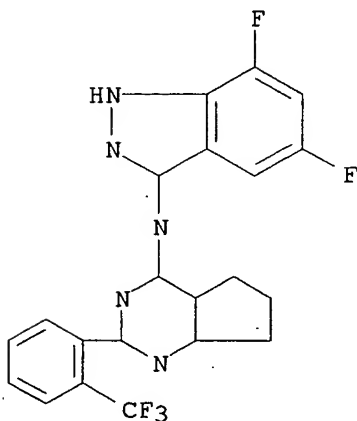
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-45-8 CAPLUS

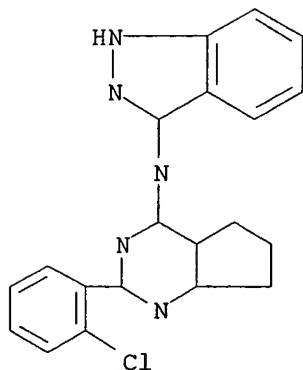
CN 1H-Indazol-3-amine, N-[6,7-dihydro-2-[2-(trifluoromethyl)phenyl]-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-46-9 CAPLUS

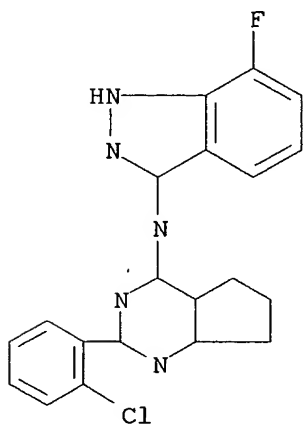
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-47-0 CAPLUS

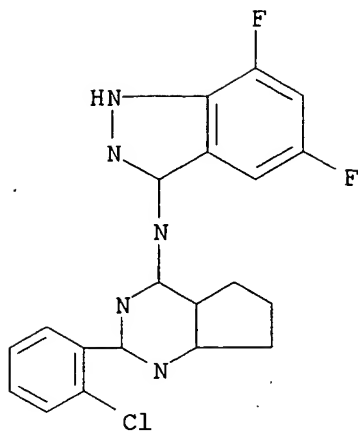
CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-7-fluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RN 404827-48-1 CAPLUS

CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]-5,7-difluoro- (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS

AN 2000:349092 CAPLUS

DN 132:347580

TI 4-Amino-2-arylcyclopenta[d]pyrimidines and their use in treatment of diseases associated with cyclic guanosine monophosphate production

IN Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut

PA Aventis Pharma Deutschland G.m.b.H., Germany

SO Ger. Offen., 16 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

*Applicant's  
PCT*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19853278	A1	20000525	DE 1998-19853278	19981119
	WO 2000031047	A1	20000602	WO 1999-EP8382	19991103
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1131302	A1	20010912	EP 1999-972626	19991103
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	DE 1998-19853278	A	19981119		
	WO 1999-EP8382	W	19991103		

*← Foreign Priority*

OS MARPAT 132:347580

AB Title compds. such as I [R = cyclopentylamino, morpholino, Et2N, HOCH2CH2NH, BuNH, (3-pyridylmethyl)amino; R1 = substituted phenyl] were prepd. for therapy and prophylaxis of diseases like angina pectoris and thrombosis. Thus, I (R = OH, R1 = 4-chlorophenyl) was prepd. from Me 2-oxocyclopentanecarboxylate and 4-chlorobenzamidine hydrochloride and was treated with POCl3 to give I (R = Cl, R1 = 4-chlorophenyl), which (0.265 g) reacted with 0.4 g cyclopentylamine in 1 mL N-methylpyrrolidone 5 h at 130.degree. to give 0.26 g I (R = cyclopentylamino, R1 = 4-chlorophenyl). Several products were tested for activation of sol. guanylate cyclase, which catalyzes the conversion of guanosine triphosphate to cyclic guanosine monophosphate.

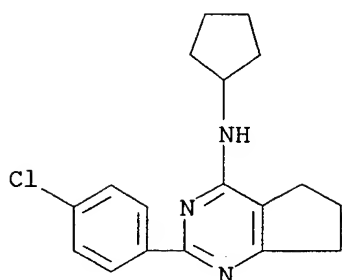
IT 268557-91-1P 268557-93-3P 268558-02-7P  
 268558-03-8P 268558-07-2P 268558-09-4P  
 268558-10-7P 268558-11-8P 268558-12-9P  
 268558-17-4P 268558-18-5P 268558-19-6P  
 268558-20-9P 268558-21-0P 268558-22-1P  
 268558-25-4P 268558-26-5P 268558-27-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and effect on guanylate cyclase activation)

RN 268557-91-1 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-N-cyclopentyl-6,7-dihydro- (9CI) (CA INDEX NAME)



RN 268557-93-3 CAPLUS

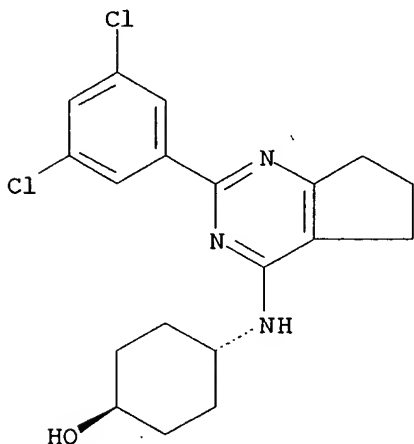
CN Cyclohexanol, 4-[[2-(3,5-dichlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-, trans-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 268557-92-2

CMF C19 H21 Cl2 N3 O

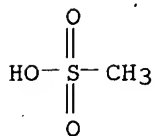
Relative stereochemistry.



CM 2

CRN 75-75-2

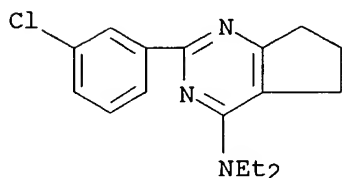
CMF C H4 O3 S



RN 268558-02-7 CAPLUS



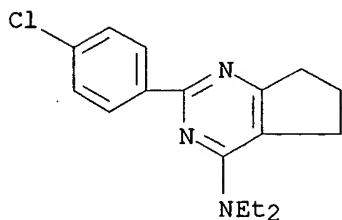
CN 5H-Cyclopentapyrimidin-4-amine, 2-(3-chlorophenyl)-N,N-diethyl-6,7-dihydro-  
 , monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 268558-03-8 CAPLUS

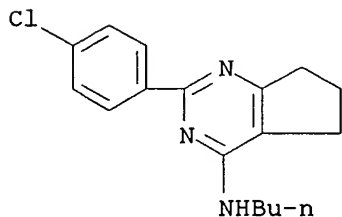
CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-N,N-diethyl-6,7-dihydro-  
 , monohydrochloride (9CI) (CA INDEX NAME)



● HCl

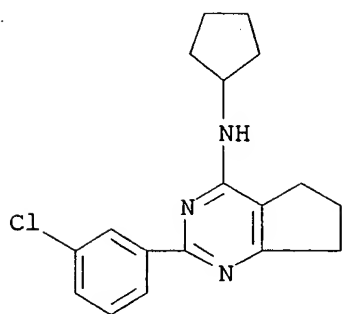
RN 268558-07-2 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-2-(4-chlorophenyl)-6,7-dihydro-  
 (9CI) (CA INDEX NAME)



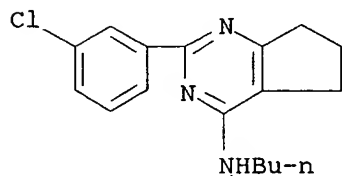
RN 268558-09-4 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3-chlorophenyl)-N-cyclopentyl-6,7-  
 dihydro- (9CI) (CA INDEX NAME)



RN 268558-10-7 CAPLUS

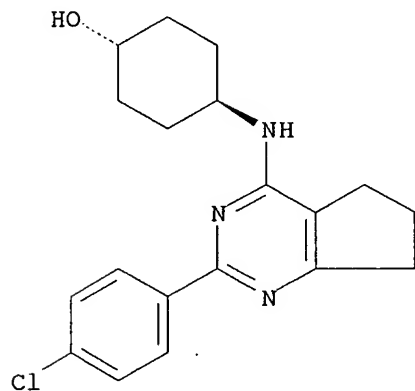
CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-2-(3-chlorophenyl)-6,7-dihydro-  
(9CI) (CA INDEX NAME)



RN 268558-11-8 CAPLUS

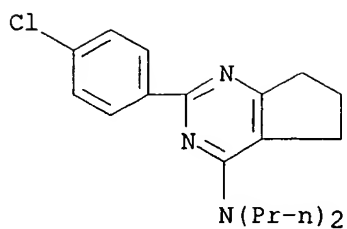
CN Cyclohexanol, 4-[[2-(4-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



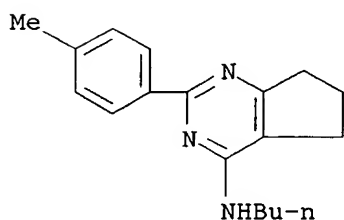
RN 268558-12-9 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N,N-dipropyl- (9CI) (CA INDEX NAME)



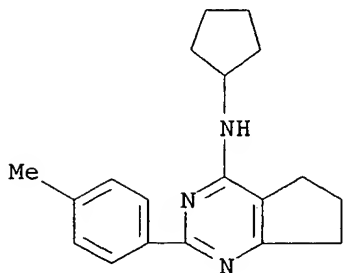
RN 268558-17-4 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-6,7-dihydro-2-(4-methylphenyl)-  
(9CI) (CA INDEX NAME)



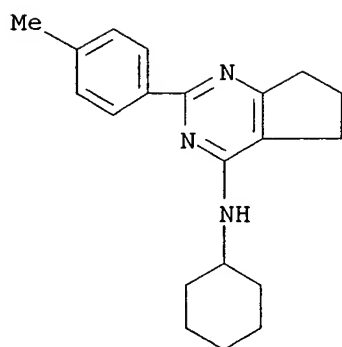
RN 268558-18-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclopentyl-6,7-dihydro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 268558-19-6 CAPLUS

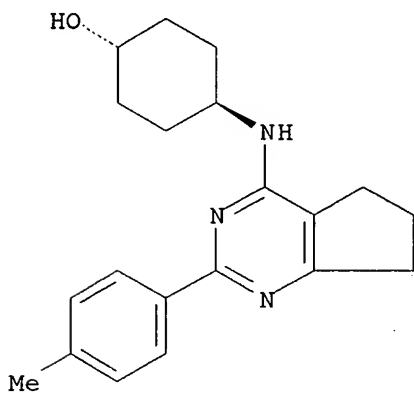
CN 5H-Cyclopentapyrimidin-4-amine, N-cyclohexyl-6,7-dihydro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 268558-20-9 CAPLUS

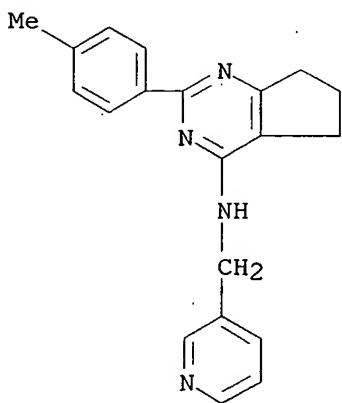
CN Cyclohexanol, 4-[[6,7-dihydro-2-(4-methylphenyl)-5H-cyclopentapyrimidin-4-yl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



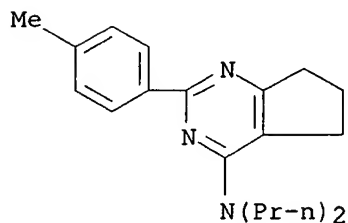
RN 268558-21-0 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 6,7-dihydro-2-(4-methylphenyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



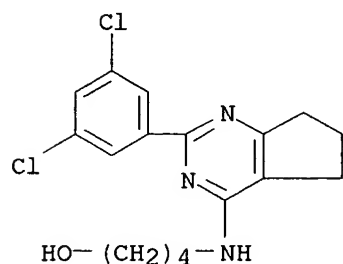
RN 268558-22-1 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 6,7-dihydro-2-(4-methylphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



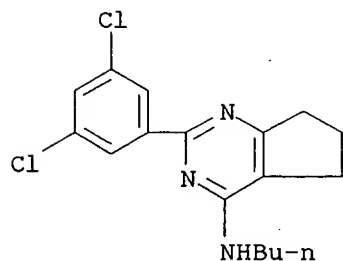
RN 268558-25-4 CAPLUS

CN 1-Butanol, 4-[[2-(3,5-dichlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



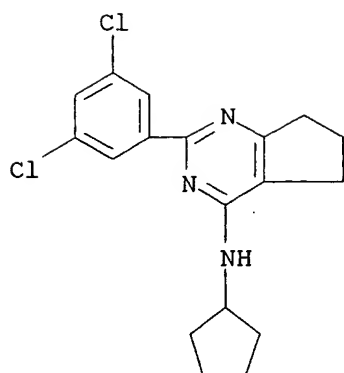
RN 268558-26-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-2-(3,5-dichlorophenyl)-6,7-dihydro- (9CI) (CA INDEX NAME)



RN 268558-27-6 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclopentyl-2-(3,5-dichlorophenyl)-6,7-dihydro- (9CI) (CA INDEX NAME)

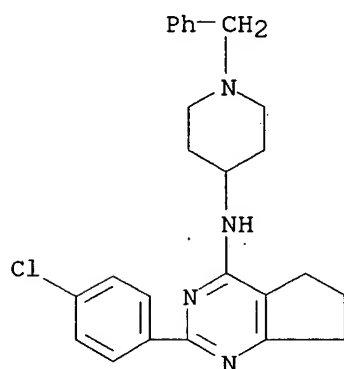


IT 268557-94-4P 268557-95-5P 268557-96-6P  
 268557-97-7P 268557-98-8P 268557-99-9P  
 268558-00-5P 268558-01-6P 268558-04-9P  
 268558-05-0P 268558-06-1P 268558-08-3P  
 268558-15-2P 268558-16-3P 268558-24-3P  
 268558-28-7P 268558-29-8P 268558-31-2P  
 268558-32-3P 268558-33-4P 268558-34-5P  
 268558-35-6P 268558-36-7P 268558-38-9P  
 268558-39-0P 268558-40-3P 268558-41-4P  
 268558-42-5P 268558-43-6P 268558-44-7P  
 268558-45-8P 268558-46-9P 268558-47-0P  
 268558-48-1P 268558-50-5P 268558-51-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 268557-94-4 CAPLUS

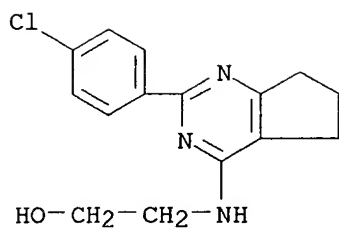
CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-[1-(phenylmethyl)-4-piperidiny]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

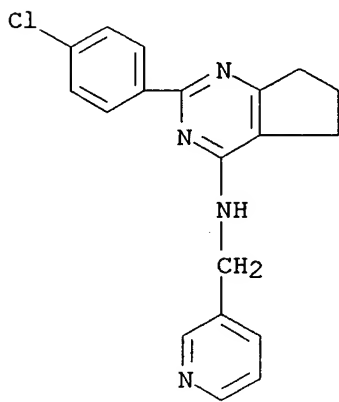
RN 268557-95-5 CAPLUS

CN Ethanol, 2-[[2-(4-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



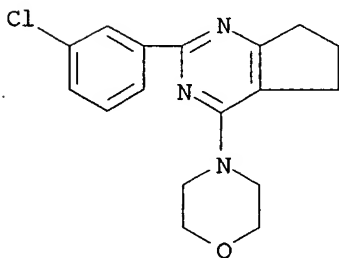
RN 268557-96-6 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



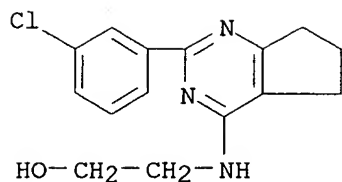
RN 268557-97-7 CAPLUS

CN 5H-Cyclopentapyrimidine, 2-(3-chlorophenyl)-6,7-dihydro-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



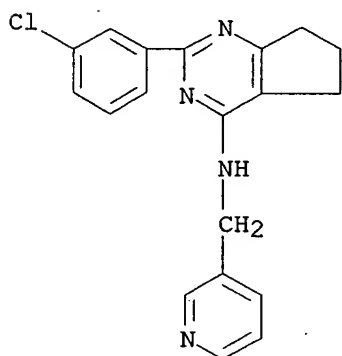
RN 268557-98-8 CAPLUS

CN Ethanol, 2-[[2-(3-chlorophenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



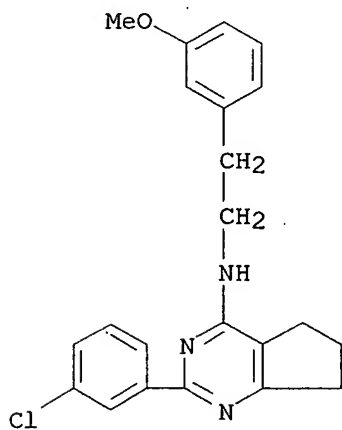
RN 268557-99-9 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3-chlorophenyl)-6,7-dihydro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 268558-00-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3-chlorophenyl)-6,7-dihydro-N-[2-(3-methoxyphenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

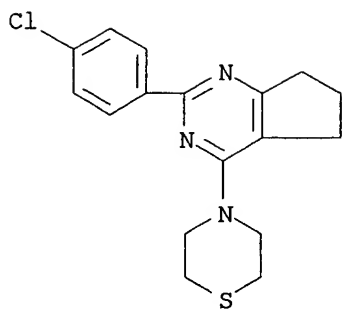


● HCl

RN 268558-01-6 CAPLUS

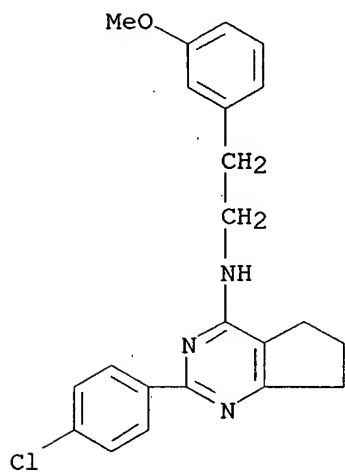
CN 5H-Cyclopentapyrimidine, 2-(4-chlorophenyl)-6,7-dihydro-4-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)





RN 268558-04-9 CAPLUS

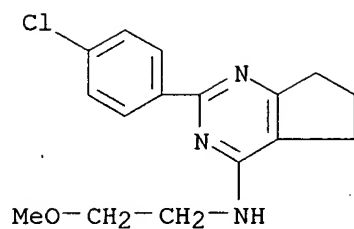
CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-[2-(3-methoxyphenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 268558-05-0 CAPLUS

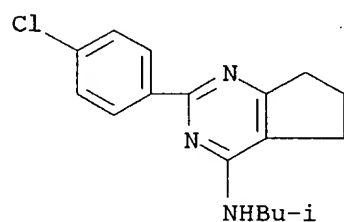
CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-(2-methoxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

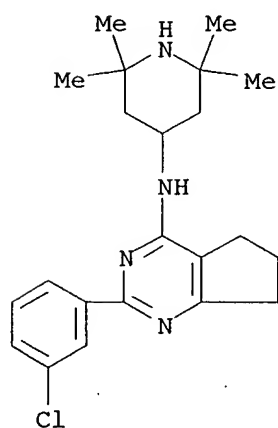
RN 268558-06-1 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(4-chlorophenyl)-6,7-dihydro-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



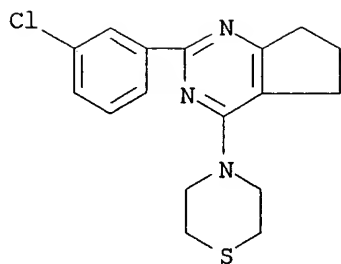
RN 268558-08-3 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3-chlorophenyl)-6,7-dihydro-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



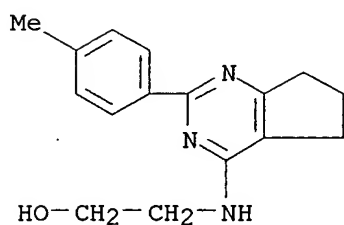
RN 268558-15-2 CAPLUS

CN 5H-Cyclopentapyrimidine, 2-(3-chlorophenyl)-6,7-dihydro-4-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



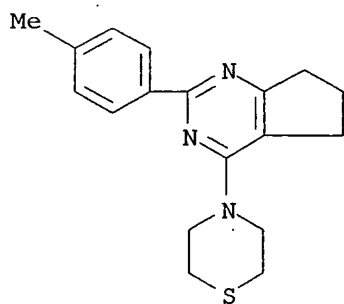
RN 268558-16-3 CAPLUS

CN Ethanol, 2-[[6,7-dihydro-2-(4-methylphenyl)-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



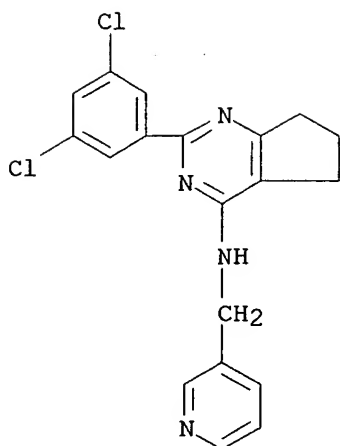
RN 268558-24-3 CAPLUS

CN 5H-Cyclopentapyrimidine, 6,7-dihydro-2-(4-methylphenyl)-4-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



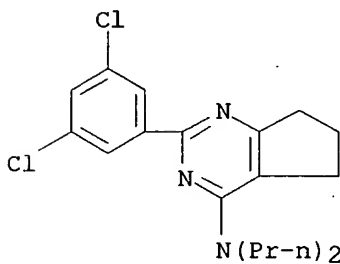
RN 268558-28-7 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,5-dichlorophenyl)-6,7-dihydro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



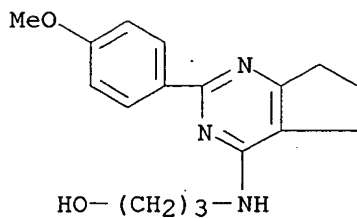
RN 268558-29-8 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,5-dichlorophenyl)-6,7-dihydro-N,N-dipropyl- (9CI) (CA INDEX NAME)



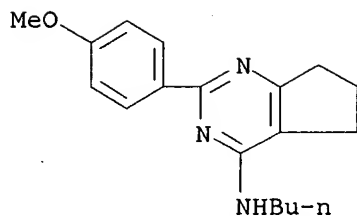
RN 268558-31-2 CAPLUS

CN 1-Propanol, 3-[[6,7-dihydro-2-(4-methoxyphenyl)-5H-cyclopentapyrimidin-4-yl]amino]- (9CI) (CA INDEX NAME)



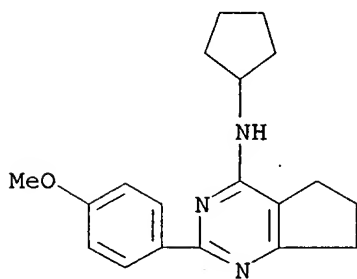
RN 268558-32-3 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-6,7-dihydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



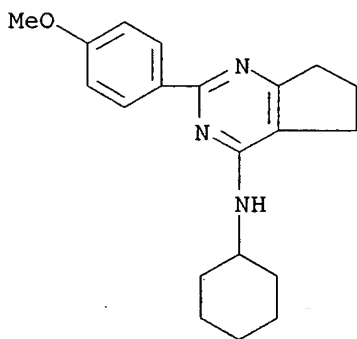
RN 268558-33-4 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclopentyl-6,7-dihydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



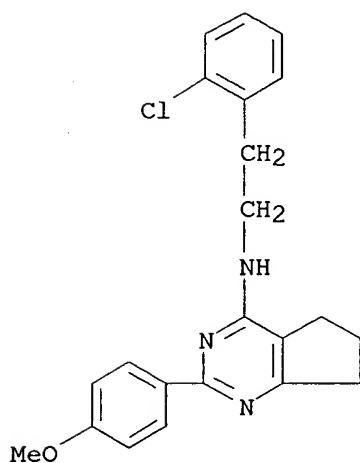
RN 268558-34-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclohexyl-6,7-dihydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



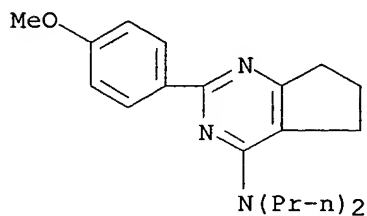
RN 268558-35-6 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-[2-(2-chlorophenyl)ethyl]-6,7-dihydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



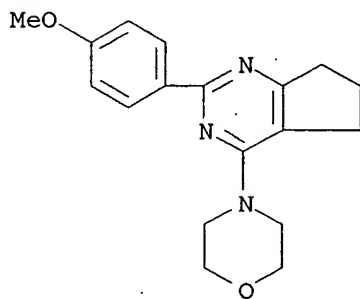
RN 268558-36-7 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 6,7-dihydro-2-(4-methoxyphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



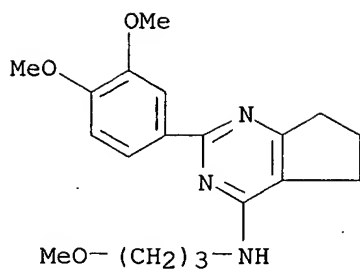
RN 268558-38-9 CAPLUS

CN 5H-Cyclopentapyrimidine, 6,7-dihydro-2-(4-methoxyphenyl)-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



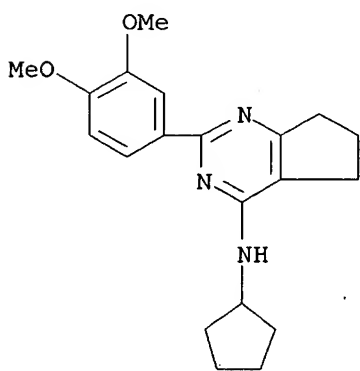
RN 268558-39-0 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,4-dimethoxyphenyl)-6,7-dihydro-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



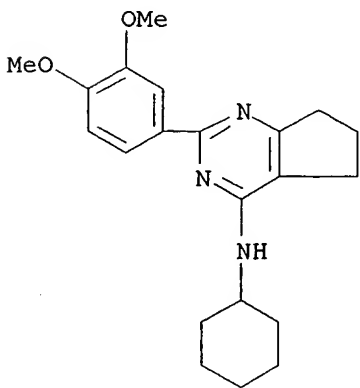
RN 268558-40-3 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclopentyl-2-(3,4-dimethoxyphenyl)-6,7-dihydro- (9CI) (CA INDEX NAME)



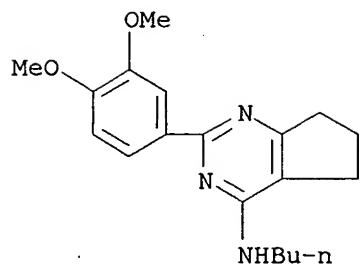
RN 268558-41-4 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-cyclohexyl-2-(3,4-dimethoxyphenyl)-6,7-dihydro- (9CI) (CA INDEX NAME)



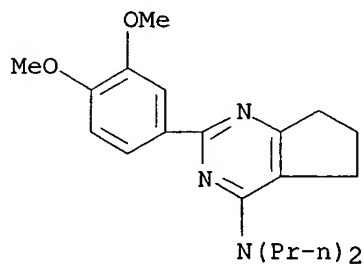
RN 268558-42-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, N-butyl-2-(3,4-dimethoxyphenyl)-6,7-dihydro- (9CI) (CA INDEX NAME)



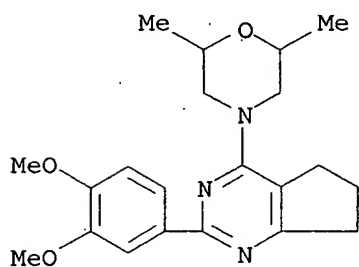
RN 268558-43-6 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,4-dimethoxyphenyl)-6,7-dihydro-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 268558-44-7 CAPLUS

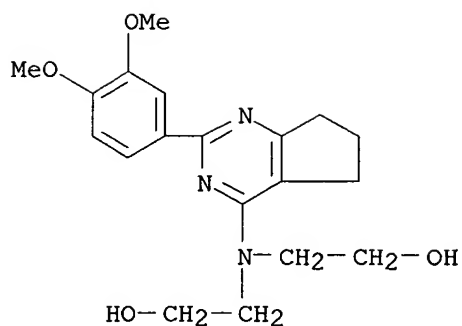
CN 5H-Cyclopentapyrimidine, 2-(3,4-dimethoxyphenyl)-4-(2,6-dimethyl-4-morpholinyl)-6,7-dihydro- (9CI) (CA INDEX NAME)



RN 268558-45-8 CAPLUS

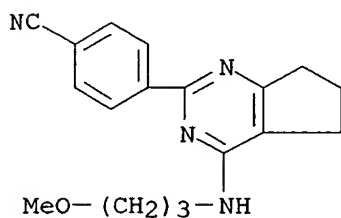
CN Ethanol, 2,2'-[[2-(3,4-dimethoxyphenyl)-6,7-dihydro-5H-cyclopentapyrimidin-4-yl]imino]bis- (9CI) (CA INDEX NAME)





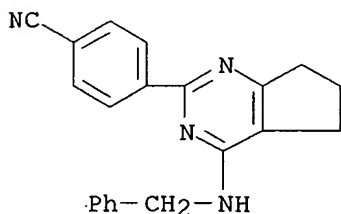
RN 268558-46-9 CAPLUS

CN Benzonitrile, 4-[6,7-dihydro-4-[(3-methoxypropyl)amino]-5H-cyclopentapyrimidin-2-yl]- (9CI) (CA INDEX NAME)



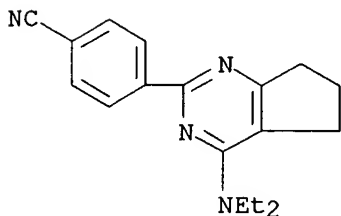
RN 268558-47-0 CAPLUS

CN Benzonitrile, 4-[6,7-dihydro-4-[(phenylmethyl)amino]-5H-cyclopentapyrimidin-2-yl]- (9CI) (CA INDEX NAME)



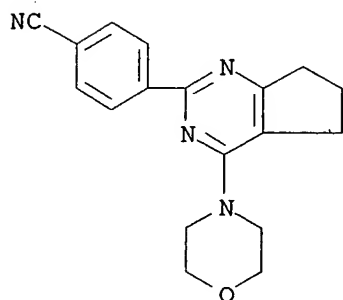
RN 268558-48-1 CAPLUS

CN Benzonitrile, 4-[4-(diethylamino)-6,7-dihydro-5H-cyclopentapyrimidin-2-yl]- (9CI) (CA INDEX NAME)



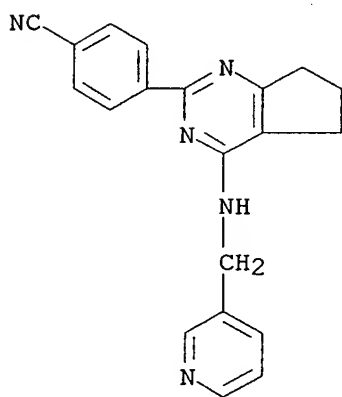
RN 268558-50-5 CAPLUS

CN Benzonitrile, 4-[6,7-dihydro-4-(4-morpholinyl)-5H-cyclopentapyrimidin-2-yl]- (9CI) (CA INDEX NAME)



RN 268558-51-6 CAPLUS

CN Benzonitrile, 4-[6,7-dihydro-4-[(3-pyridinylmethyl)amino]-5H-cyclopentapyrimidin-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS

AN 1996:401560 CAPLUS

DN 125:58535

TI Preparation of pyrimidine derivatives as gastric secretion inhibitors

IN Lee, Jong Wook; Chae, Jeong Seok; Kim, Chang Seop; Kim, Jae Kyu; Lim, Dae Sung; Shon, Moon Kyu; Choi, Yeon Shik; Lee, Sang Ho

PA Yuhan Corporation, S. Korea

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9605177	A1	19960222	WO 1995-KR105	19950810
	W: AU, CA, CN, JP, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2197298	AA	19960222	CA 1995-2197298	19950810
	AU 9531225	A1	19960307	AU 1995-31225	19950810
	AU 688087	B2	19980305		
	EP 775120	A1	19970528	EP 1995-927092	19950810
	R: CH, DE, ES, FR, GB, IT, LI, SE				
	CN 1155281	A	19970723	CN 1995-194599	19950810
	JP 09509188	T2	19970916	JP 1995-507208	19950810
	JP 2896532	B2	19990531		
	RU 2129549	C1	19990427	RU 1997-104208	19950810
	US 5750531	A	19980512	US 1997-776220	19970123
PRAI	KR 1994-19997	A	19940813		
	KR 1994-19998	A	19940813		
	WO 1995-KR105	W	19950810		

OS MARPAT 125:58535

AB The title compds. I and II [R4 and R5, which may be the same or different, are independently hydrogen or a C1-C3 alkyl group, or jointly form a cyclopentyl or cyclohexyl ring; A is Q1 wherein R1 and R2 are, independently of each other, hydrogen or a C1-C3 alkyl group, and R3 is hydrogen, a C1-C3 alkyl group or a halogen; and B is Q2, etc.; R6 is hydrogen or a C1-C3 alkyl group] are prepd. 2-(2-Methyl-4-fluorophenylamino)-4-(1-methyl-1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidine hydrochloride (prepn. given) in vitro showed IC50 of 5.4 .mu.M against H+/K+ ATPase, vs. 5.8 .mu.M for omeprazole. The inhibition of enzyme activity by compds. of this invention is reversible.

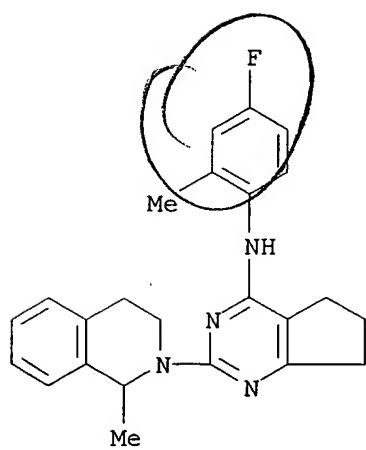
IT 178308-05-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidine derivs. as gastric secretion inhibitors)

RN 178308-05-9 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 2-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-N-(4-fluoro-2-methylphenyl)-6,7-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 1994:534144 CAPLUS  
 DN 121:134144  
 TI Substituted pyridine pesticides and agrochemical fungicides  
 IN Mueller, Thomas; Eicken, Karl; Harreus, Albrecht; Koenig, Hartmann;  
 Rentzea, Costin; Ammermann, Eberhard; Lorenz, Gisela  
 PA BASF A.-G., Germany  
 SO Eur. Pat. Appl., 51 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 588146	A2	19940323	EP 1993-113887	19930831
	EP 588146	A3	19941026		
	EP 588146	B1	19981111		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	IL 106786	A1	19970218	IL 1993-106786	19930824
	CA 2105001	AA	19940311	CA 1993-2105001	19930827
	AT 173254	E	19981115	AT 1993-113887	19930831
	US 5346899	A	19940913	US 1993-115041	19930901
	AU 9346199	A1	19940317	AU 1993-46199	19930909
	AU 664478	B2	19951116		
	HU 66580	A2	19941228	HU 1993-2559	19930909
	JP 06199792	A2	19940719	JP 1993-225351	19930910
PRAI	DE 1992-4230215		19920910		

OS MARPAT 121:134144

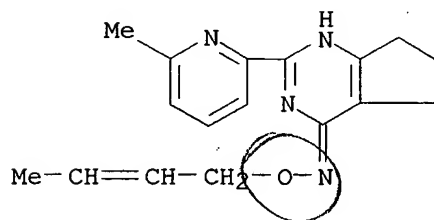
AB The title compds. [I; R1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C3-7 cycloalkyl, etc.; R2-R4 = H, C1-6 alkyl, (un)substituted Ph; R5 = H, C1-6 alkyl, C3-7 cycloalkyl, etc.; R6 = H, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxy-carbonyl, halogen, (un)substituted Ph; R7 = H, C1-12 alkyl, C3-12 alkenyl, C3-8 alkynyl, monocyclic or polycyclic (un)substituted C5-10 cycloalkenyl, C5-10 cycloalkenyl-substituted Me, etc.; X = CH, N; Y = C(R10):N, NR11; R10 = H, C1-6 alkyl; R11 = H, C1-6 alkyl, (un)substituted C3-8 cycloalkyl, (un)substituted Ph, etc.], useful as agrochem. pesticides and fungicides, are prepd. Thus, 4-formyl-2-(2-pyridyl)pyrimidine was condensed with hydroxylammonium chloride, producing I [R1-R6 = H, X = N, Y = C(:NOH)H], m.p. 190.degree., in 46% yield.

IT 156825-75-1P 156825-76-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as pesticide and agrochem. fungicide)

RN 156825-75-1 CAPLUS

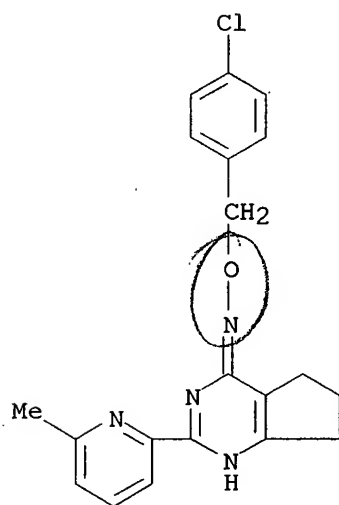
CN 4H-Cyclopentapyrimidin-4-one, 1,5,6,7-tetrahydro-2-(6-methyl-2-pyridinyl)-, O-2-butenyloxime (9CI) (CA INDEX NAME)



RN 156825-76-2 CAPLUS

09/856,069

CN 4H-Cyclopentapyrimidin-4-one, 1,5,6,7-tetrahydro-2-(6-methyl-2-pyridinyl)-  
, O-[(4-chlorophenyl)methyl]oxime (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 AN 1992:571466 CAPLUS  
 DN 117:171466  
 TI Preparation of 2-phenylpyrimidines as agrochemical fungicides  
 IN Minn, Klemens; Braun, Peter; Sachse, Burkhard; Wicke, Heinrich  
 PA Hoechst A.-G., Germany  
 SO Ger. Offen., 48 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4029654	A1	19920402	DE 1990-4029654	19900919
	ZA 9107429	A	19920527	ZA 1991-7429	19910918
	WO 9205159	A1	19920402	WO 1991-EP1790	19910919

W: BR, CA, CS, FI, NO, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

PRAI DE 1990-4029654 19900919

OS MARPAT 117:171466

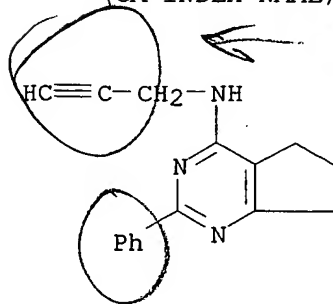
AB Title compds. I [R1 - R3 = H, halo, H2N, O2N, cyano, thiocyanato, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino, C1-4 dialkylamino, halo-C1-4-alkyl, C3-9 cycloalkyl, C1-4 alkylcarbonyl, (substituted) Ph, (substituted) PhO, etc.; R1, R2 and(or) R3 = carbocyclyl, heterocyclyl, etc.; R4 = H, halo, C1-4 alkyl, hydroxy-C1-4-alkyl, C1-4 alkoxy-C1-4-alkyl, (substituted) Ph, (substituted) PhO, (substituted) heterocyclyl, etc.; R5 = halo, C1-9 alkoxy, C2-6 alkynyl, C3-9 cycloalkyl, etc.; R6 = H, halo, hydroxy-C1-4-alkyl, halo-C1-4-alkylthio, C3-9 cycloalkyl, C3-9 heterocyclylalkyl, C1-4 alkoxycarbonyl, Ph-C1-4-alkyl, etc.; R5R6 = carbocyclyl, heterocyclyl; X = O, S, HN, etc.; Y = O, HN, C1-4-alkylamino, absent when X = O or HN, etc.; n = 0-8] and salts thereof, are prepd. To NaH in THF was added dropwise a mixt. of HCO2Et and MeOCH2CO2Me to give, after workup, 5-methoxy-2-phenyl-4(1H)pyrimidinone which was treated with POCl3 and PhNMe2 to give 4-chloro-5-methoxy-2-phenylpyrimidine, which was treated with NaH followed by HC.tplbond.CCH2OH to give I (R1-R4, R6 = H; R5 = MeO; X = O, n = 1) (II). In a test against Pseudocercospora herpotrichoides, II at 60 ppm gave 100% control.

IT 142652-19-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as agrochem. fungicide)

RN 142652-19-5 CAPLUS

CN 5H-Cyclopentapyrimidin-4-amine, 6,7-dihydro-2-phenyl-N-2-propynyl- (9CI)  
 (CA INDEX NAME)



=&gt; file caold

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	53.06	193.93
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-7.43	-7.43

FILE 'CAOLD' ENTERED AT 16:11:44 ON 30 JUN 2002  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=&gt; d his

(FILE 'HOME' ENTERED AT 16:10:11 ON 30 JUN 2002)

FILE 'REGISTRY' ENTERED AT 16:10:16 ON 30 JUN 2002

L1 STRUCTURE UPLOADED  
 L2 0 S L1 SSS SAM  
 L3 70 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 16:11:16 ON 30 JUN 2002

L4 12 S L3

FILE 'CAOLD' ENTERED AT 16:11:44 ON 30 JUN 2002

=&gt; s 13

L5 0 L3

=&gt; log y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.38	194.31
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-7.43

STN INTERNATIONAL LOGOFF AT 16:12:01 ON 30 JUN 2002